

6352ZYXI-IIWV

SERIAL NO.

08/003,208

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Harold L. Kohn et al.

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## U.S. PATENT DOCUMENTS

| *EXAMINER<br>INITIAL |    | DOCUMENT NUMBER | DATE   | NAME        | CLASS | SUBCLASS | FILING DATE<br>IF APPROPRIATE |
|----------------------|----|-----------------|--------|-------------|-------|----------|-------------------------------|
| RA                   | AA | 5 37 8 72 9     | 1/3/95 | Kohn et al. | 514   | 231.2    |                               |
|                      | AB |                 |        |             |       |          |                               |
|                      | AC |                 |        |             |       |          |                               |
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## OTHER PRIOR ART (Including Author, Title, Date, Pertinent Pages, Etc.)

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|          | AT              |  |
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Sheet 1 of 7

Form PTO-1449  
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|---------------------|----|-----------------|-------|--------------------|-------|----------|-------------------------------|
| <i>RL</i>           | AA | 4 8 7 3 2 4 1   | 10/89 | Napier, et al.     | 564   | 215      | OCT 3 1990                    |
| <i>RL</i>           | AB | 4 0 1 8 8 2 6   | 4/77  | Gless, Jr. et al.  | 564   | 215      |                               |
| <i>RL</i>           | AC | 3 3 4 0 1 4 7   | 9/67  | Martin, et al.     | 514   | 616      |                               |
| <i>RL</i>           | AD | 3 6 5 7 3 4 1   | 4/72  | Thorne, et al.     | 260   | 558A     |                               |
| <i>RL</i>           | AE | 2 7 2 1 1 9 7   | 10/55 | Sheehan            | 564   | 155      |                               |
| <i>RL</i>           | AF | 3 7 0 7 5 5 9   | 12/72 | Mazur, et al.      | 564   | 158      |                               |
| <i>RL</i>           | AG | 4 5 9 5 7 0 0   | 6/86  | Donald, et al.     | 514   | 616      |                               |
| <i>RL</i>           | AH | 4 6 1 8 7 0 8   | 10/86 | Roques, et al.     | 564   | 154      |                               |
| <i>RL</i>           | AI | 4 2 6 0 6 8 4   | 4/81  | Schutt             | 564   | 155      |                               |
| <i>RL</i>           | AJ | 4 3 0 3 6 7 3   | 12/81 | Biedermann, et al. | 564   | 155      |                               |
| <i>RL</i>           | AK | 4 5 1 3 0 0 9   | 4/85  | Roques, et al.     |       |          |                               |

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|-----------|----|-----------------|-------|---------------|-------|----------|-----------------------|
| <i>RL</i> | AL | 0 2 6 3 5 0 6   | 10/87 | Europe        |       |          |                       |
| <i>RL</i> | AM | 0 1 9 4 4 6 4   | 2/80  | Europe        |       |          |                       |
| <i>RL</i> | AN | 1 0 5 1 2 2 0   | 12/66 | Great Britain |       |          |                       |
| <i>RL</i> | AO | 1 9 2 7 6 9 2   | 12/69 | Germany       |       |          |                       |
| <i>RL</i> | AP | 0 0 0 7 4 4 1   | 2/80  | Europe        |       |          |                       |

## OTHER PRIOR ART (Including Author, Title, Date, Pertinent Pages, Etc.)

|           |    |   |   |
|-----------|----|---|---|
| <i>RL</i> | AR | ① | Chemical Abstracts, Vol. 92; No. 7:51712r (02/18/90)  |
| <i>RL</i> | AS | ② | Chemical Abstracts, Vol. 96; No.5:35710r (2/01/82).   |
| <i>RL</i> | AT | ③ | Chemical Abstracts, Vol. 101; No. 9; 72124v (08/27/84)  |
| <i>RL</i> | AV | ④ | Chemical Abstracts, Vol. 91; No. 21:175147; (11/19/79)  |
| <i>RL</i> | AW | ⑤ | Kohn, et al. (1988) Brain Research 457: 371-375, Marked Stereospecificity in a New Class of Anticonvulsants |

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*T. J. Cress*

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|---------------------|----|-----------------|------|---------------|-------|----------|-------------------------------|
| <i>PM</i>           | AA | 4 3 7 2 9 7 4   | 2/83 | Fish, et al.  | 260   | 559      |                               |
| <i>R</i>            | AB | 2 6 7 6 1 8 8   | 4/54 | Bruce, et al. | 424   | 319      |                               |
|                     | AC |                 |      |               |       |          |                               |
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## FOREIGN PATENT DOCUMENTS

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|-----------|----|-----------------|-------|---------|-------|----------|-----------------------|
| <i>PM</i> | AL | 0 3 9 3 3 5 5   | 10/65 | Swiss   |       |          |                       |
| <i>R</i>  | AM | 0 8 8 5 3 0 3   | 3/81  | Belgium |       |          |                       |
| <i>PM</i> | AN | 0 0 4 6 7 0 7   | 3/82  | Europe  |       |          |                       |
| <i>R</i>  | AO | 0 0 4 2 6 2 6   | 12/81 | Europe  |       |          |                       |
| <i>PM</i> | AP | 0 0 3 8 7 5 8   | 10/81 | Europe  |       |          |                       |

## OTHER PRIOR ART (Including Author, Title, Date, Reprint Pages, Etc.)

|           |    |   |  |
|-----------|----|---|--|
| <i>PM</i> | AS | ⑥ | Chemical Abstracts, Vol. 97:145266d (1982)   |
| <i>PM</i> | AS | ⑦ | Chemical Abstracts, Vol. 89: 129286q; Zafoulak, et al. (1978)  |
| <i>R</i>  | AS | ⑧ | White, et al. (1981) JACS, 103:4231-4239, Active-Site-Directed Inhibition of alpha-Chymotrypsin by Deaminatively Produced Carbonium Ions: An Example of Suicide of Enzyme-Activated-Substrate Inhibition |
| <i>PM</i> | AT | ⑨ | Legall, et al. (1988) Int. J. Protein Res., 32:279-291 Synthesis of Functionalized Non-Natural Amino Acid Derivatives via Amidoalkylation Transformations  |

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003,208LIST OF PRIOR ART CITED BY APPLICANT  
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Harold L. Kohn et al.FILING DATE  
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## U.S. PATENT DOCUMENTS

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| AL | 0 4 0 0 4 0 0   | 5/90 | Europe  |       |          |             |    |
| AM |                 |      |         |       |          |             |    |
| AN |                 |      |         |       |          |             |    |
| AO |                 |      |         |       |          |             |    |
| AP |                 |      |         |       |          |             |    |

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| AR |    |  |
| AS | 10 | Cortes, et al. (1985) J. Med. Chem., 28:601-606, Effect of Structural Modification of the Hydantion Ring on Anticonvulsant Activity                |
| AT | 11 | Ikeeda, et al. (1977) Tetrahedron, 33(5): 489-495, Photochemical Synthesis of 1,2,3,4-Tetrahydroisoquinolin-3-ones from N-Chloroacetylbenzylamines |

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## OTHER PRIOR ART (Including Author, Title, Date, Patent No., Etc.)

|          |    |      |  |
|----------|----|------|--|
| <i>M</i> | AR | (12) | Conley, et al. (1987) J. Med. Chem., 30(3): 567-574 Functionalized Di-Amino Acid Derivatives, Potent New Agents for the Treatment of Epilepsy                    |
| <i>K</i> | AS | (13) | Garcia, et al. (1984) Tetrahedron Letters, 25(42) 4841-4844, New Synthetic "Tricks" Triphenylphosphine-Mediated Amide Formation from Carboxylic Acids and Azides |
| <i>K</i> | AT | (14) | Rebek, et al. (1979), J. Am. Chem. Soc., 101(3):737, On the Rate of Site-Site Interactions in Functionalized Polystyrenes  |

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*T. Ruan*

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| AJ              |      |         |       |           |                    |
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| pc | (15) AN | Katritzky, et al. (1990) J. Org. Chem., 55:2206-2214, Benzotriazole-Assisted Synthesis of Monacyl Animals and Their Peptide Derivatives  |
| pc | (16) AO | Lipshutz, et al. (1983) J. Am. Chem. Soc., 105:7703-7713, Heterocycles as masked Diamide/Dipeptide Equivalents. Formation and Reactions of Substituted 5-(Acylamino)oxazoles as Intermediates en route to the Cyclopeptide Alkaloids       |
| pc | (17) AP | Lipshutz, et al. (1983) J. Org. Chem., 48:3745-3750, An Approach to the Cyclopeptide Alkaloids (Phencyclopeptides) via Heterocyclic Diamide/Dipeptide Equivalents. Preparation and N-Alkylation Studies of 2,4(5)-Disubstituted Imidazoles |
| pc | (18) AQ | Roques, (1987) 193rd ACS National Meeting, Amer. Chem. Soc., April 5-10, 1987 Use of Various Metallopeptidase Inhibitors to Study the Physiological Role of Endogenous Neuropeptides   |

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|  | AJ |                    |      |         |       |              |                       |
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|  | AL |                    |      |         |       |              |                       |
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## OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)

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|-------------------------------------|------------|--|
| <input checked="" type="checkbox"/> | (18)<br>AN | Kohn, et al. (1990) J. Med. Chem., 33:919-926, Preparation and Anticonvulsant Activity of a Series of Functionalized $\alpha$ -Aromatic and $\alpha$ -Heteroaromatic Amino Acids |
| <input checked="" type="checkbox"/> | (19)<br>AO | <del>Copy of European Search Report and Annex for EP 86 10 1865</del>  |
| <input checked="" type="checkbox"/> | (20)<br>AP | <del>Copy of European Search Report EP 900109596.8</del>   |
| <input checked="" type="checkbox"/> | (21)<br>AQ | Lipshutz, et al. JACS, 106(2):457-459, "Heterocycles in Synthesis...Imidazoles" (1984)   |

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| Harold L. Kohn et al. | Criares, T. |
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|  | Document Number | Date | Country | Class | Sub Class | Translation Yes/No |
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|  | AJ              |      |         |       |           |                    |
|  | AK              |      |         |       |           |                    |
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|  | AM              |      |         |       |           |                    |

OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)

|                |   |
|----------------|---|
| <u>3</u><br>AN | Kohn, et al. (1988) Chemistry in Britain, pp. 231-233, New Antiepileptic Agents |
| <u>2</u><br>AO | European Search Report and Annex for EP 87 11 4623-9.                           |
| AP             |   |
| AQ             |   |

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*J. Brown*

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